



# STIC Search Report

## Biotech-Chem Library

STIC Database Tracking Number: 140578

To: Devesh Khare  
Location: rem/5c35/5c18  
Art Unit: 1623  
Tuesday, January 04, 2005

Case Serial Number: 10/676782

From: Beverly Shears  
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### Search Notes

Access DB# 140578

## SEARCH REQUEST FORM

### Scientific and Technical Information Center

Requester=s full Name: Devesh Khare Examiner #: 77931 Date: 12/16/2004

Art Unit: 1623 Phone Number 272-0653 Serial Number: 10/676,782

Mail Box: Remsen 5C18 and Bldg/Room Location: 5C35 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. ME

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be search. Include the elected species or structures, key words, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: See Bib Data Sheet on e-dan.

Inventors (please provide full names): See Bib Data Sheet on e-dan.

Earliest priority Filing Date: 6/06/2000

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please carry out a structure search on the attached claim sheet :

Thank you.

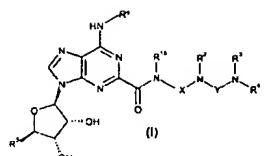
#### STAFF USE ONLY

Searcher: \_\_\_\_\_  
Searcher Phone #: \_\_\_\_\_  
Searcher Location: \_\_\_\_\_  
Date Searcher Picked Up: \_\_\_\_\_  
Date Completed: \_\_\_\_\_  
Searcher Prep & Review Time: \_\_\_\_\_  
Clerical prep time: \_\_\_\_\_  
Online Time: \_\_\_\_\_

PTO-1590 (1-2000)

Type of Search	Vendors and cost where applicable
NA Sequence (#)	STN _____
AA Sequence (#)	Dialog _____
Structure (#)	Questel/Orbit _____
Bibliographic	Dr. Link _____
Litigation	Lexis/Nexis _____
Fulltext	Sequence Systems _____
Patent Family	WWW/Internet _____
Other	Other (specify) _____

### 1. A compound of the formula:



5

or a pharmaceutically acceptable salt or solvate thereof, wherein

R<sup>1</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl or fluorenyl, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by 1 or 2 substituents each independently selected from phenyl and naphthyl, said 10 phenyl and naphthyl being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, halo or cyano;

(A)  $R^2$  is H or  $C_1$ - $C_6$  alkyl,  $R^{15}$  is H or  $C_1$ - $C_6$  alkyl, and X is either (i) unbranched  $C_2$ - $C_3$  alkylene optionally substituted by  $C_1$ - $C_6$  alkyl or  $C_3$ - $C_8$  cycloalkyl, or (ii) a 15 group of the formula:

$$-\text{CH}_2)_n - \text{W} - (\text{CH}_2)_p -$$

where W is C<sub>5</sub>-C<sub>7</sub> cycloalkylene optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl, n is 0 or 1, and p is 0 or 1, or

(B) R<sup>15</sup> is H or C<sub>1</sub>-C<sub>6</sub> alkyl, and R<sup>2</sup> and X, taken together with the nitrogen atom to which they are attached, represent azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl, each being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl, or

(C)  $R^2$  is H or  $C_1-C_6$  alkyl, and  $R^{13}$  and X, taken together with the nitrogen atom to which they are attached, represent azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl, each being optionally substituted by  $C_1-C_6$  alkyl;

5 either,  $R^3$  and  $R^4$ , taken together with the nitrogen atom to which they are attached, represent azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperidinyl or homopiperazinyl, each being optionally substituted on a ring nitrogen or carbon atom by  $C_1$ - $C_6$  alkyl or  $C_3$ - $C_6$  cycloalkyl and optionally 10 substituted on a ring carbon atom not adjacent to a ring nitrogen atom by -

or,  $R^3$  is  $H$ ,  $C_1-C_6$  alkyl,  $C_3-C_8$  cycloalkyl or benzyl and  $R^4$  is  
(a) azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl  
15 or homopiperidin-4-yl, each being optionally substituted by  $C_1-C_6$  alkyl,  $C_3-C_8$  cycloalkyl, phenyl, benzyl or het, or  
(b)  $-(C_2-C_6$  alkylene)- $R^4$ ,  
(c)  $-(C_1-C_6$  alkylene)- $R^{13}$ , or

20 R<sup>5</sup> is CH<sub>2</sub>OH or CONR<sup>14</sup>R<sup>14</sup>.

R<sup>6</sup> and R<sup>7</sup> are either each independently H or C<sub>1</sub>-C<sub>8</sub> alkyl or, taken together with the nitrogen atom to which they are attached, represent azetidinyl, pyrrolidinyl or piperidinyl, said azetidinyl, pyrrolidinyl and piperidinyl being optionally substituted by C<sub>1</sub>-C<sub>8</sub> alkyl;

R<sup>6</sup> is (i) azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl, piperazin-1-yl, homopiperidin-1-yl, homopiperazin-1-yl or tetrahydroisoquinolin-1-yl, each 30 being optionally substituted on a ring carbon atom by C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, phenyl, C<sub>1</sub>-C<sub>6</sub> alkoxy-(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>7</sup>N-(C<sub>1</sub>-C<sub>6</sub>)alkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)alkyl, -CONR<sup>8</sup>, -COOR<sup>9</sup> or C<sub>2</sub>-C<sub>6</sub> alkanoyl, and optionally substituted on a